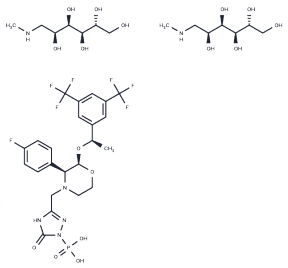
Data Sheet (Cat.No.T1790)

TargetMol

Fosaprepitant dimeglumine

Chemical Properties



CAS No. : 265121-04-8

Formula: C37H56F7N6O16P

Molecular Weight: 1004.83

Storage: Store at low temperature,Keep away from moisture
Powder: -20°C for 3 years
Actual storage temperature shall be subject to the COA.

Biological Description

Description	Fosaprepitant dimeglumine (MK-0517) is the dimeglumine salt form of fosaprepitant, a water-soluble, N-phosphorylated prodrug of aprepitant with antiemetic activity. Upon intravenous administration and rapid conversion to aprepitant, this agent selectively binds to human substance P/neurokinin 1 (NK1) receptors in the central nervous system (CNS), inhibiting receptor binding of endogenous substance P and preventing substance P-induced emesis.
Targets(IC50)	Neurokinin receptor
In vitro	Fosaprepitant (MK-0517, L-758,298) is a phosphoryl prodrug for aprepitant. Aprepitant is a selective substance P (NK-1 receptor) antagonist approved as part of combination therapy with a corticosteroid and a 5-HT 3 receptor antagonist for the prevention of acute and delayed Chemotherapy-induced nausea and vomiting. [1]
In vivo	Fosaprepitant is converted to aprepitant within 30 min after intravenous administration via the action of ubiquitous phosphatases when administered intravenously. Fosaprepitant is well tolerated up to 150 mg (1 mg/ml), and Fosaprepitant 115 mg is bioequivalent in its AUC to aprepitant 125 mg. Fosaprepitant 115 mg has been submitted for FDA approval as an alternative on day 1 of a 3-day oral aprepitant regimen, with oral aprepitant administered on days 2 and 3. [1]
Kinase Assay	Biochemical Methods: EPZ-6438 is incubated for 30 min with 40 μL per well of 5 nM PRC2 (final assay concentration in 50 μL is 4 nM) in 1X assay buffer (20 mM Bicine [pH 7.6], 0.002% Tween-20, 0.005% Bovine Skin Gelatin and 0.5 mM DTT). 10 μL per well of substrate mix comprising assay buffer 3 H-SAM, unlabeled SAM, and peptide representing histone H3 residues 21-44 containing C-terminal biotin (appended to a C-terminal amide-capped lysine) are added to initiate the reaction (both substrates are present in the final reaction mixture at their respective Km values, an assay format referred to as ''balanced conditions''. The final concentrations of substrates and methylation state of the substrate peptide are indicated for each enzyme Reactions are incubated for 90 min at room temperature and quenched with 10 μL per well of 600 μM unlabeled SAM, Then transferred to a 384-well flashplate and washed after 30 min.

Solubility Information

Solubility	DMSO: 257.5 mg/mL (256.26 mM),Sonication is recommended. Ethanol: < 1 mg/mL (insoluble or slightly soluble), H2O: 166.67 mg/mL (165.87 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	0.9952 mL	4.976 mL	9.9519 mL
5 mM	0.199 mL	0.9952 mL	1.9904 mL
10 mM	0.0995 mL	0.4976 mL	0.9952 mL
50 mM	0.0199 mL	0.0995 mL	0.199 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

Reference

Navari RM. Expert Opin Investig Drugs, 2007, 16(12), 1977-1985.